STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 138612

TO: David Lukton
Location: REM/3B75/3C70
Art Unit: 1653
November 23, 2004

Case Serial Number: 10069683

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

Access DB# 138612

SEARCH REQUEST FORM
(STIC)

<u>Requestor's Name</u>: David Lukton <u>Examiner number</u>: 71263 <u>Date</u>: 11/23/04

<u>Art Unit</u>: 1653 <u>Phone number</u>: 571-272-0952 <u>Serial Number</u>: 10/069683

<u>Mail Box</u>: 3-C-70 <u>Examiner Rm</u>: 3-B-75 <u>Results format</u>: paper

****

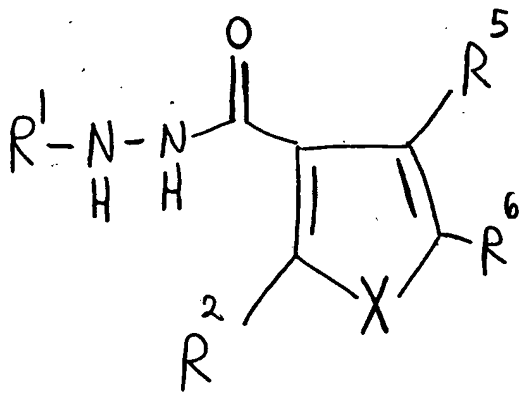
<u>Title</u>: COMPOUNDS USEFUL TO MIMIC PEPTIDE BETA-STRANDS

<u>Applicants</u>: NOWICK, JAMES S. and MAITRA, SANTANU

<u>Earliest Priority Date</u>: 8/23/99

****

Applicants are claiming the following compounds:



R^1 = anything

R^2 = OR^3, SR^3 or halogen

wherein R^3 = hydrogen or alkyl or aryl;

X = O, S or NR^4
wherein R^4 = anything;

R^5 = hydrogen, fluorine or -NH-CO-CO-Z
wherein "Z" can be anything

R^6 = hydrogen or -NH-CO-CO-Z
wherein "Z" can be anything

****

STAFF USE ONLY Type of Search

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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 16:57:21 ON 23 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 23 Nov 2004  VOL 141 ISS 22
FILE LAST UPDATED: 22 Nov 2004  (20041122/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L51             STR
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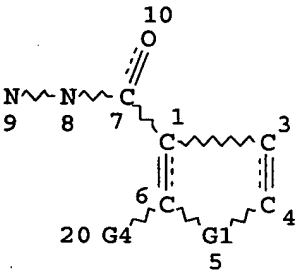


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VAR G4=O/S/X
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L53          16 SEA FILE=REGISTRY SSS FUL L51
L54          12 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L53


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=> d ibib abs hitstr l54 1-12

L54  ANSWER 1 OF 12  HCAPLUS  COPYRIGHT 2004 ACS on STN
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ACCESSION NUMBER: 2004:269866 HCAPLUS
DOCUMENT NUMBER: 140:303690
TITLE: A preparation of amides, carbazides, and hydrazides of heterocyclic compounds useful as quorum sensing inhibitors of bacteria for modulation of pathogenicity
INVENTOR(S): Ammendola, Aldo; Aulinger-Fuchs, Katharina; Gotschlich, Astrid; Kramer, Bernd; Lang, Martin; Saeb, Wael; Sinks, Udo; Wuzik, Andreas
PATENT ASSIGNEE(S): 4 SC AG, Germany
SOURCE: U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Pat. Appl. 2003 105,143.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004063765	A1	20040401	US 2003-429875	20030506
US 2003105143	A1	20030605	US 2002-94301	20020308
EP 1475092	A1	20041110	EP 2003-10185	20030506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2004099175	A2	20041118	WO 2004-EP4850	20040506
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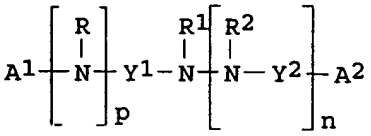
PRIORITY APPLN. INFO.:
US 2002-94301 A2 20020308
WO 2001-EP12875 A1 20011107
EP 2003-10185 A 20030506

OTHER SOURCE(S): MARPAT 140:303690
GI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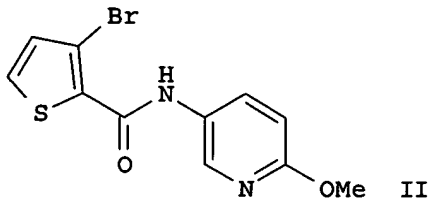
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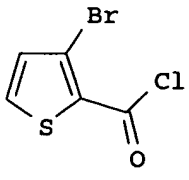
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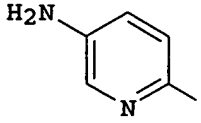
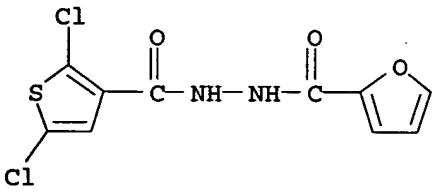

IV

AB The invention relates to compds. of general formula I [wherein: R, R1, and R2 are independently H, (cyclo)alkyl, and (hetero)aryl; A1 and A2 are independently an optionally substituted C1-C20 alkyl group which may contain one or more group(s) Z, or a (mono/poly)cyclic optionally substituted aromatic or non-aromatic ring system which may contain one or more group(s) X, and in the case of polycyclic ring system, said system contains at least one aromatic ring; Z = S, O, N, CO, CO2 , etc.; X = S, O, N, SO, SO2, etc.; Y1 and Y2 are independently C(O), C(S), SO2, etc.; p and n are all possible combinations of 0 and 1], useful as selective inhibitors of bacterial pathogens. The invention refers to a family of compds. that block the quorum sensing system of Gram-neg. bacteria which they use to monitor their population cell d. Compds. I were screened for quorum sensing inhibition on bioluminescent sensor strain Escherichia coli, inhibition of protease production, and inhibition of biofilm formation. For instance, amide II (compound 2 in table 2; biosensor assay IC50 = 1-50 μM) was prepared via amidation of acid chloride III by amine IV (no yield data).

IT **525597-52-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides, carbazides, and hydrazides of heterocyclic compound useful for the regulation of quorum sensing system of Gram-neg. bacteria)

RN 525597-52-8 HCAPLUS

CN 2-Furancarboxylic acid, 2-[(2,5-dichloro-3-thienyl)carbonyl]hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:376642 HCAPLUS
DOCUMENT NUMBER: 138:385440
TITLE: Preparation of heterocyclic amides and hydrazides as selective antibacterial agents
INVENTOR(S): Kramer, Bernd; Ammendola, Aldo; Saeb, Wael
PATENT ASSIGNEE(S): 4SC Ag, Germany
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039549	A2	20030515	WO 2002-EP11760	20021021
WO 2003039549	C1	20040226		
WO 2003039549	A3	20040527		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2003039529 A1 20030515 WO 2001-EP12875 20011107
WO 2003039529 C1 20031002
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US 2003105143 A1 20030605 US 2002-94301 20020308
WO 2004099175 A2 20041118 WO 2004-EP4850 20040506
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PRIORITY APPLN. INFO.: WO 2001-EP12875 A 20011107
US 2002-94301 A 20020308
EP 2003-10185 A 20030506

OTHER SOURCE(S): MARPAT 138:385440

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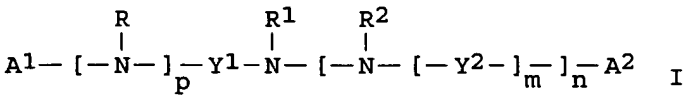

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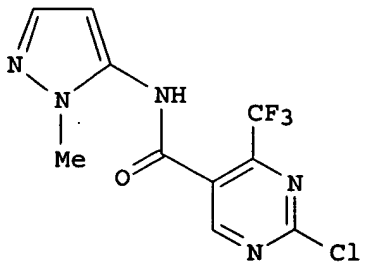


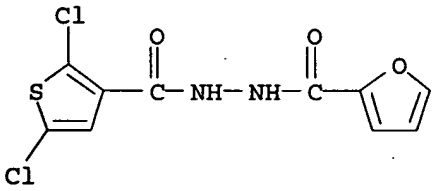
II

AB Title compds. I [R, R1-2 = H, (cyclo)alkyl, (hetero)aryl; A1-2 = alkyl, mono/polycyclic ring system optionally aromatic, etc.; Z = S, O N, CO, etc.; X = SO0-2, O, N, etc.; Y1-2 = CO, CS, SO2, etc.; p, m, n = 0-1 with some provisions] are prepared and used for the regulation of the quorum sensing system of microorganisms. General synthetic procedures are described for the preparation of over 100 compds., e.g., 2-chloro-4-trifluoromethylpyrimidine-5-carboxylic acid N-(2-methyl-2H-pyrazol-3-yl)amide (II). Antibacterial efficacy is demonstrated with E. coli, P. aeruginosa and on biofilm formation Burkholderia cepacia.

IT **525597-52-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic amides and hydrazides as selective antibacterial agents)

RN 525597-52-8 HCAPLUS

CN 2-Furancarboxylic acid, 2-[(2,5-dichloro-3-thienyl)carbonyl]hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:610837 HCAPLUS

DOCUMENT NUMBER: 137:294938

TITLE: 6-Chloro-3-alkylamino-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-Dioxide Derivatives Potently and Selectively Activate ATP Sensitive Potassium Channels of Pancreatic β-Cells

AUTHOR(S): Nielsen, Flemming E.; Bodvarsdottir, Thora B.; Worsaae, Anne; MacKay, Peter; Stidsen, Carsten E.; Boonen, Harrie C. M.; Pridal, Lone; Arkhammar, Per O. G.; Wahl, Philip; Ynddal, Lars; Junager, Finn; Dragsted, Nils; Tagmose, Tina M.; Mogensen, John P.; Koch, Anette; Treppendahl, Svend P.; Hansen, J. Bondo

CORPORATE SOURCE: Novo Nordisk Research and Development, Malov, DK 2760, Den.

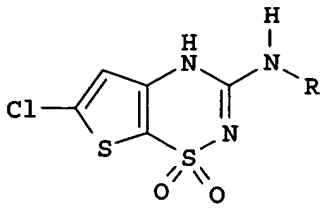
SOURCE: Journal of Medicinal Chemistry (2002), 45(19), 4171-4187
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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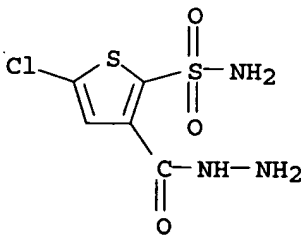
AB 6-Chloro-3-alkylamino-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxides I [R = H, Me, Bu, Me2CH, Me3C, (S)-EtCHMe, cyclopropyl, etc.] were synthesized and characterized as activators of ATP sensitive potassium (KATP) channels in the β-cells by measuring effects on membrane potential and insulin release in vitro. The effects on vascular tissue in vitro were measured on rat aorta and small mesenteric vessels. Selected I were characterized as competitive inhibitors of [3H]glibenclamide binding to membranes of HEK293 cells expressing human SUR1/Kir6.2 and as potent inhibitors of insulin release in isolated rat islets. I (R = 1-methylcyclobutyl) bound and activated the SUR1/Kir6.2 KATP channels in the low nanomolar range and was at least 1000 times more potent than the reference compound diazoxide with respect to inhibition of insulin release from rat islets. Several compds., e.g. I [R = Pr, Me2CH, (S)-EtCHMe, 1-methylcyclopropyl], which were potent and β-cell selective activators of KATP channels in vitro, were found to inhibit insulin secretion in rats with minimal effects on blood pressure and to exhibit good oral pharmacokinetic properties.

IT **194086-58-3P 194086-59-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (alkylamino)thienothiadiazine dioxides as selective activators of ATP sensitive potassium channels of pancreatic β-cells)

RN 194086-58-3 HCAPLUS
CN 3-Thiophenecarboxylic acid, 2-(aminosulfonyl)-5-chloro-, hydrazide (9CI) (CA INDEX NAME)



RN 194086-59-4 HCAPLUS
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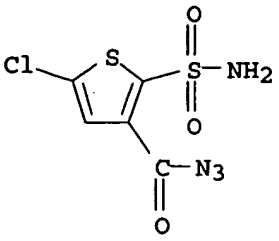

REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:253020 HCAPLUS
DOCUMENT NUMBER: 136:279347
TITLE: Preparation of hydrophilic sulfonamide derivatives as inhibitors of protein jun kinases
INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean Pierre; Biamonte, Marco; Arkinstall, Stephen
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 1193267	A1	20020403	EP 2000-810886		20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
CA 2421417	AA	20020411	CA 2001-2421417		20010927
WO 2002028856	A1	20020411	WO 2001-IB1771		20010927
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AU 2001087990	A5	20020415	AU 2001-87990		20010927
EP 1322641	A1	20030702	EP 2001-967621		20010927
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JP 2004510772	T2	20040408	JP 2002-532439		20010927
US 2004077632	A1	20040422	US 2003-381200		20030910
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			WO 2001-IB1771	W	20010927

OTHER SOURCE(S): MARPAT 136:279347
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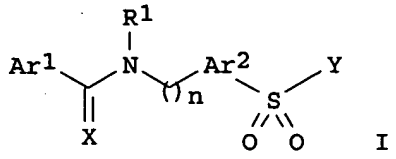

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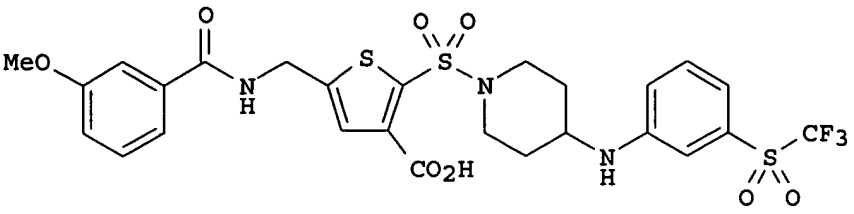


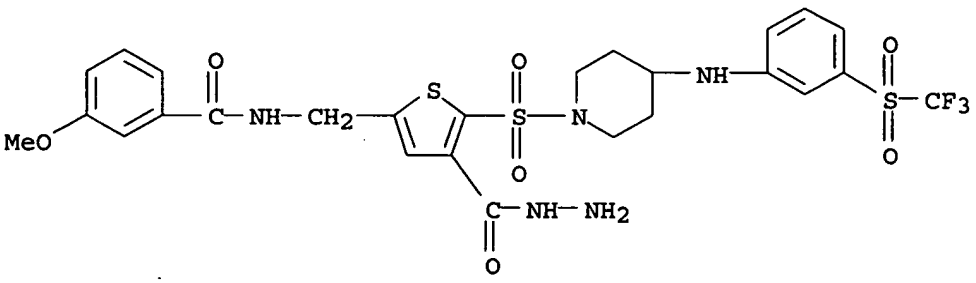
II

AB Title compds. I [Ar1= (un)substituted (hetero)aryl; Ar2 = (hetero)aryl group substituted with at least one hydrophilic substituent; X = O, S, preferably O; R1 = H, alkyl,or forms a 5-6-membered ring with Ar1; n = 0-5; Y = (un)substituted 4-12-membered saturated cyclic or bicyclic alkyl containing at least one nitrogen atom, whereby one nitrogen atom within said ring is forming a bond with the sulfonyl group] were prepared For instance, 5-((Diallylamino)methyl)thiophene-2-sulfonyl chloride (preparation given) was treated with 1,4-dioxa-8-azaspiro[4.5]decane to give the corresponding sulfonamide and subsequently converted to the 3-carboethoxy-thiophene derivative (THF, -78°C → -100°C, t-BuLi, EtO2CCl). Deallylation, acylation with 3-methoxybenzoyl chloride, ketal hydrolysis, reductive amination with 3-(trifluoromethylsulfonyl)aniline and saponification provided II in 8 steps in overall yield of 2.5%. I are efficient modulators of the JNK pathway, they are in particular efficient and selective inhibitors of JNK 2 and 3. II had IC50 = 0.01 μM for protein jun kinase 3 (JNK3). I are useful for the treatment of, e.g., neuronal disorders including epilepsy, Alzheimer's disease, Huntington's disease, Parkinson's disease, retinal diseases, spinal cord injury, etc.

IT **406487-04-5P**, N-[[4-[Hydrazinocarbonyl]-5-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)

RN 406487-04-5 HCAPLUS

CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-, hydrazide (9CI) (CA INDEX NAME)



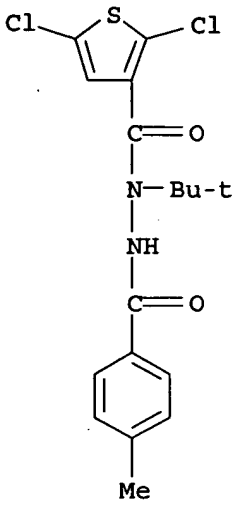
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:34239 HCAPLUS
DOCUMENT NUMBER: 132:89498
TITLE: Preparation of insecticidal N'-substituted-N,N'-disubstituted hydrazines.
INVENTOR(S): Hsu, Adam Chi-Tung; Aller, Harols Ernest; Le Dat Phat; Hamp, Donald Wesley; Weinstein, Barry; Murphy, Raymond August
PATENT ASSIGNEE(S): Rohm and Haas Company, USA
SOURCE: U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 300,871.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6013836	A	20000111	US 1995-443871	19950522
US 4985461	A	19910115	US 1985-789797	19851021
AT 60979	E	19910315	AT 1986-308068	19861017
ZA 8607921	A	19870624	ZA 1986-7921	19861020
BR 8605121	A	19870721	BR 1986-5121	19861020
US 5354762	A	19941011	US 1987-12380	19870219
ZA 8701432	A	19871028	ZA 1987-1432	19870227
US 5117057	A	19920526	US 1991-715843	19910614
US 5225443	A	19930706	US 1991-501142	19910624
US 5344958	A	19940906	US 1992-984189	19921123
US 5530028	A	19960625	US 1993-129549	19930929
PRIORITY APPLN. INFO.:			US 1985-789797 A2	19851021
			US 1986-821187 B2	19860122
			US 1986-835073 B2	19860228
			US 1986-858482 B2	19860501
			US 1986-885508 B2	19860714
			US 1986-911177 B1	19860914
			US 1986-911928 B2	19860926
			US 1987-5824 B2	19870204
			US 1987-12380 B2	19870219
			US 1987-24660 B2	19870311
			US 1987-91687 B1	19870831
			US 1989-384079 B1	19890717
			US 1991-688357 B1	19910312
			US 1991-715843 A3	19910614
			US 1992-843487 A	19920228
			US 1992-984189 A2	19921123
			US 1993-129549 A2	19930929
			US 1994-300871 A2	19940610
			US 1994-261588 A2	19940617
			EP 1986-308068 A	19861017
			US 1988-207081 B2	19880615
			US 1988-274635 B2	19881114

OTHER SOURCE(S): MARPAT 132:89498
AB Substituted hydrazines AC(X)NHNEC(X1)B [X, X1 = O, S, or NR; A, B = (un)substituted aryl or aromatic heterocyclyl; R = alkyl, alkenyl, or aralkyl; E = tertiary carbon-containing organic radical having ≥4 carbon and halogen atoms, but ≤6 halogen atoms, or a nontertiary carbon-containing nonhaloalkyl organic or organometallic radical, having at ≥5 atoms other than H, O and halo; R = H or alkyl] are prepared as insecticides, particularly insect growth regulators.

IT **115216-00-7P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide)
RN 115216-00-7 HCAPLUS
CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:499189 HCAPLUS
DOCUMENT NUMBER: 127:176443
TITLE: Preparation of thieno-1,2,4-thiadiazines and pyrazolo[1,2,4]thiadiazines as openers of the KATP-regulated potassium channels
INVENTOR(S): Nielsen, Flemming Elmelund; Hansen, Holger Claus; Hansen, John Bondo; Tagmose, Tina Moller
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726265	A1	19970724	WO 1997-DK19	19970116
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241567	AA	19970724	CA 1997-2241567	19970116
AU 9714371	A1	19970811	AU 1997-14371	19970116
AU 727775	B2	20001221		

ZA 9700352	A	19971110	ZA 1997-352	19970116
JP 10508881	T2	19980902	JP 1997-523981	19970116
JP 3071832	B2	20000731		
EP 876379	A1	19981111	EP 1997-900934	19970116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1208417	A	19990217	CN 1997-191749	19970116
BR 9707003	A	19990720	BR 1997-7003	19970116
RU 2199542	C2	20030227	RU 1998-115285	19970116
US 5889002	A	19990330	US 1997-785438	19970117
NO 9803286	A	19980916	NO 1998-3286	19980716
US 6225310	B1	20010501	US 2000-539242	20000330

PRIORITY APPLN. INFO.:

DK 1996-41	A	19960117
DK 1996-250	A	19960305
DK 1996-251	A	19960305
DK 1996-252	A	19960305
DK 1996-253	A	19960305
DK 1996-256	A	19960305
DK 1996-259	A	19960305
DK 1996-903	A	19960827
WO 1997-DK19	W	19970116
US 1997-785438	A2	19970117
DK 1997-872	A	19970716
DK 1998-368	A	19980317
US 1998-107693	B1	19980630

OTHER SOURCE(S): MARPAT 127:176443

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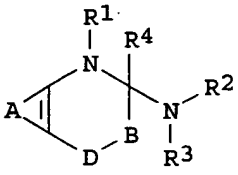

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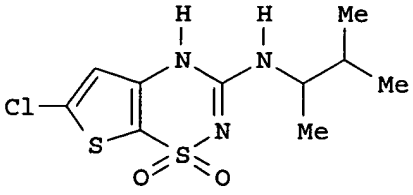


II

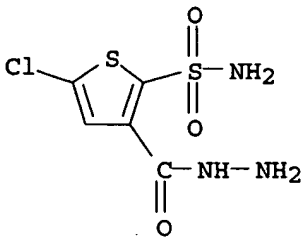
AB The title compds. [I; B = NR5, CR5R6 (wherein R5, R6 = H, OH, C1-6 alkoxy, etc.; R5R4 = a bond); D = S(O)2, S(O); DB = S(O)(R7):N (wherein R7 = C1-6 alkyl, aryl, heteroaryl); R1 = H, OH, C1-6 alkoxy, etc.; R2 = H, OH, C1-6 alkyl, etc.; R3 = bicycloalkyl, aryl, heteroaryl, etc.; A together with carbon atoms represents 5-6 membered heterocyclic system comprising one or more N, O or S atoms], useful in the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the gastrointestinal system and the endocrinol. system (such as hyperinsulinemia and diabetes), were prepared and formulated. Thus, treatment of 2-(N-tert-butylsulfamoyl)-5-chlorothiophene-3-carboxylic acid with HCl/EtOH followed by reaction of the resulting Et 5-chloro-2-sulfamoylthiophene-3-carboxylate with N2H4.H2O, treatment of 5-chloro-2-sulfamoylthiophene-3-carbohydrazide with NaNO2 in 1M HCl, refluxing 5-chloro-2-sulfamoyl-3-thenoyl azide in PhMe, and reaction of 6-chloro-2,3-dihydro-3-oxo-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide with 1,2-dimethylpropylamine.HCl in the presence of P2O5 and N,N-dimethylcyclohexylamine afforded the title compound II which showed EC50 of 1.2 μM in test on relaxation of rat aorta rings.

IT **194086-58-3P 194086-59-4P**

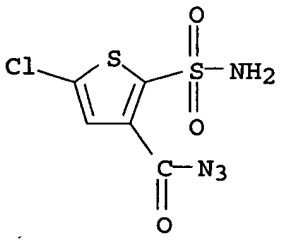
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thieno-1,2,4-thiadiazines and pyrazolo[1,2,4]thiadiazines as openers of the KATP-regulated potassium channels)

RN 194086-58-3 HCAPLUS
CN 3-Thiophenecarboxylic acid, 2-(aminosulfonyl)-5-chloro-, hydrazide (9CI) (CA INDEX NAME)



RN 194086-59-4 HCAPLUS
CN 3-Thiophenecarbonyl azide, 2-(aminosulfonyl)-5-chloro- (9CI) (CA INDEX NAME)



L54 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:705644 HCAPLUS
DOCUMENT NUMBER: 123:313108
TITLE: Anthelmintic N-alkyl-N,N'-diacylhydrazines: nonsteroidal ecdysone agonists
INVENTOR(S): Wing, Keith D.
PATENT ASSIGNEE(S): Rohm and Haas Company, USA
SOURCE: U.S., 87 pp. Cont. of U.S. Ser.No. 208,339, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5424333	A	19950613	US 1991-725925	19910705
US 4985461	A	19910115	US 1985-789797	19851021
AT 60979	E	19910315	AT 1986-308068	19861017
ZA 8607921	A	19870624	ZA 1986-7921	19861020
BR 8605121	A	19870721	BR 1986-5121	19861020
US 5354762	A	19941011	US 1987-12380	19870219
ZA 8701432	A	19871028	ZA 1987-1432	19870227
US 5225443	A	19930706	US 1991-501142	19910624
PRIORITY APPLN. INFO.:			US 1985-789797 A2	19851021
			US 1986-821187 B2	19860122
			US 1986-835073 B2	19860228
			US 1986-858482 B2	19860501
			US 1986-885508 B2	19860714

US 1986-911177	B2	19860924
US 1986-911928	B2	19860926
US 1987-12380	A2	19870219
US 1987-24660	B2	19870311
US 1987-91687	B1	19870831
US 1988-207081	B2	19880615
US 1988-208339	B1	19880616
US 1989-384079	B2	19890717
EP 1986-308068	A	19861017
US 1988-274635	B1	19881115

OTHER SOURCE(S): MARPAT 123:313108

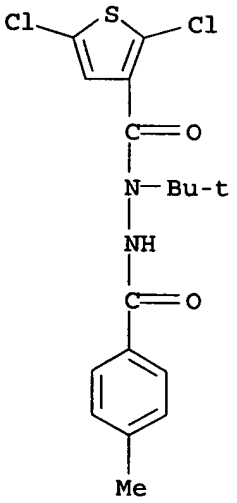
AB This invention relates to methods of controlling helminths by contacting the helminths with a compound having a nucleus of the formula A'C(:X)NR2NR1C(:X')B' wherein X and X' are the same or different O, S, or NR and A', B', R1 and R2 are a variety of substituents. Thus, e.g., reaction of t-butylhydrazine hydrochloride with benzoyl chloride afforded N'-t-butyl-N,N'-dibenzoylhydrazine (I) which induced the onset of molting in M. sexta L5D0 whole larvae: ED50 = 3.0 ppm (in diet) and 3.4 μg/g body weight (injected) vs. >2000 ppm and 181.0 μg/g, resp., for 20-hydroxyecdysone. Although I displayed 30-fold lower binding affinity to the ecdysone receptor than 20-hydroxyecdysone, I is more potent at eliciting whole animal effects. There is an excellent correlation between the southern armyworm activity of 373 analogs of I and ecdysone receptor binding (R = 0.79). In hornworm larvae, I, an ecdysone agonist, exerts neg. feedback inhibition on hormone biosynthesis.

IT **115216-00-7P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(anthelmintic N-alkyl-N,N'-diacylhydrazines: nonsteroidal ecdysone agonists)

RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:542484 HCAPLUS

DOCUMENT NUMBER: 123:83263

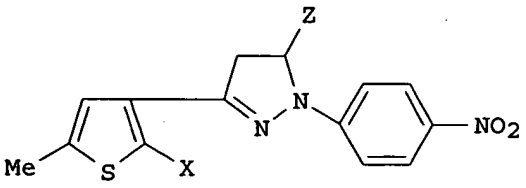
TITLE: Synthesis of 3-(3-thienyl)-2-pyrazolines by

1,3-dipolar cycloaddition reactions

AUTHOR(S): Krayushkin, M. M.; Kalik, M. A.; Woznesensky, S. A.
CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 117913, Russia

SOURCE: Izvestiya Akademii Nauk, Seriya Khimicheskaya (1994), (1), 114-17
CODEN: IASKEA
PUBLISHER: Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk
DOCUMENT TYPE: Journal
LANGUAGE: Russian

GI

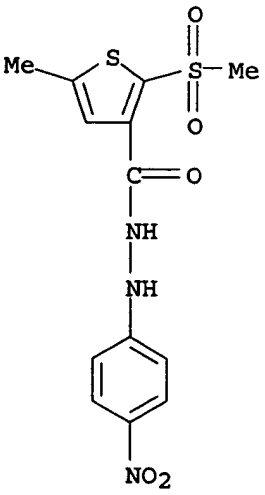


I

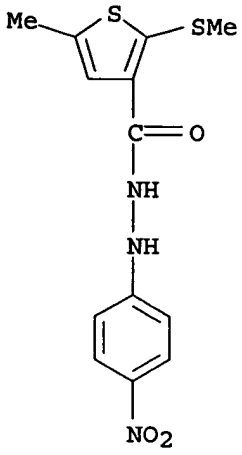
AB Thienylpyrazolines I (X = SO2Me, SMe, CH2Cl; Z = Ph, OBu, CN) were obtained in high yields by treatment of N-(p-nitrophenyl)-3-thiophenecarbohydrazonoyl chlorides with Et3N and excess CH2:CHZ in CH2Cl2. The reaction probably proceeds as a 1,3-dipolar cycloaddn. of the 3-thiophenecarbonitrile imine, formed in situ, to the olefinic double bond.

IT **165066-09-1P 165066-10-4P 165066-11-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienylpyrazolines by dipolar cycloaddn. reactions)

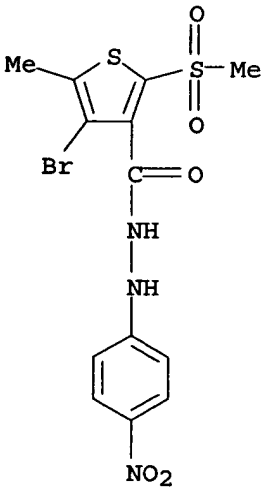
RN 165066-09-1 HCAPLUS
CN 3-Thiophenecarboxylic acid, 5-methyl-2-(methylsulfonyl)-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



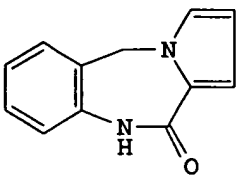
RN 165066-10-4 HCAPLUS
CN 3-Thiophenecarboxylic acid, 5-methyl-2-(methylthio)-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



RN 165066-11-5 HCAPLUS
CN 3-Thiophenecarboxylic acid, 4-bromo-5-methyl-2-(methylsulfonyl)-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:128881 HCAPLUS
DOCUMENT NUMBER: 116:128881
TITLE: A convenient route to diazepines by intramolecular cyclization of carbonyl azides
AUTHOR(S): Daich, Abdelali; Povazanec, Frantisek; Decroix, Bernard
CORPORATE SOURCE: Lab. Chim., Univ. Havre, Le Havre, 76600, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1991), 28(8), 1911-15
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:128881
GI



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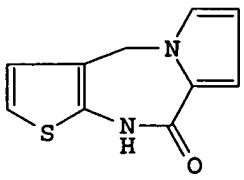


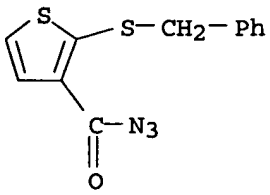
II

AB The title reaction was accomplished by refluxing in HOAc. Acetamides and lactams, e.g., I and II, were the products.

IT **114950-31-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclization of)

RN 114950-31-1 HCAPLUS

CN 3-Thiophenecarbonyl azide, 2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L54 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:551998 HCAPLUS

DOCUMENT NUMBER: 113:151998

TITLE: Preparation of benzoylhydrazine derivatives and analogs as anthelmintics

INVENTOR(S): Wing, Keith Dumont

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: Eur. Pat. Appl., 109 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 361645	A2	19900404	EP 1989-306053		19890615
EP 361645	A3	19910220			
EP 361645	B1	19930929			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
AU 8936360	A1	19891221	AU 1989-36360		19890614
AU 637573	B2	19930603			
ZA 8904508	A	19900328	ZA 1989-4508		19890614
DK 8902963	A	19891217	DK 1989-2963		19890615
JP 02152922	A2	19900612	JP 1989-150693		19890615
AT 95168	E	19931015	AT 1989-306053		19890615
ES 2059755	T3	19941116	ES 1989-306053		19890615
CN 1039016	A	19900124	CN 1989-104259		19890616
BR 8902929	A	19900320	BR 1989-2929		19890616
PRIORITY APPLN. INFO.:			US 1988-208339	A	19880616
			EP 1989-306053	A	19890615

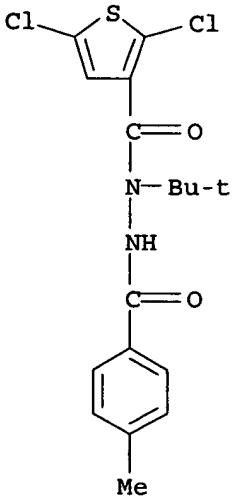
OTHER SOURCE(S): MARPAT 113:151998

AB A1XG1G2(D)NN(E)G2G1XB1 (A1, B1, D = any atom or group; E = organic radical having ≥3 atoms ≠ H and is attached to N by a C-N single bond, an organometallic radical; one G1 = C, N, O, S and both of G2 and the other G1 = C; one G2 = Sor P, and both of G1 and the other G2 = C; when G2 bonded to D = C or P, 1 of G2-D and G2-N is doubly bonded and the other is singly bonded; when G2 bonded to D is S, G2-D is doubly bonded and G2-N is singly bonded; X = single, double, aromatic bond; when B1 = PhCH, E ≠ PhCH:CHCO) or a salt thereof, for pharmaceutical and veterinary use, are prepared Me3CNHNH2.HCl in PhMe at room temperature was added to 50% NaOH, the reaction mixture cooled to 5° and BzCl was added to give BzNHN(CMe3)Bz (II). In bioassays on intact (unligated) hornworms, II injection (μg/g) was 67-fold as effective than 20-hydroxyecdysone and orally (ppm in diet) 670-fold as potent.

IT **115216-00-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anthelmintic)

RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:473319 HCAPLUS

DOCUMENT NUMBER: 109:73319

TITLE: Preparation and testing of heterocyclyldiacylhydrazines as insecticides

INVENTOR(S): Hsu, Adam Chi Tung; Phat Le Dat

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 261755	A2	19880330	EP 1987-303091	19870409
EP 261755	A3	19890628		
EP 261755	B1	19921216		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

AT 83481	E	19930115	AT 1987-303091	19870409
ES 2053535	T3	19940801	ES 1987-303091	19870409
AU 8771472	A1	19880331	AU 1987-71472	19870413
AU 599970	B2	19900802		
CA 1331189	A1	19940802	CA 1987-534506	19870413
DK 8701994	A	19880327	DK 1987-1994	19870415
BR 8701822	A	19880426	BR 1987-1822	19870415
ZA 8702738	A	19880629	ZA 1987-2738	19870416
HU 46507	A2	19881128	HU 1987-1714	19870417
HU 202830	B	19910429		
IL 82244	A1	19920715	IL 1987-82244	19870417
JP 63083063	A2	19880413	JP 1987-97234	19870420
JP 08005854	B4	19960124		

PRIORITY APPLN. INFO.: US 1986-911928 A 19860926
EP 1987-303091 A 19870409

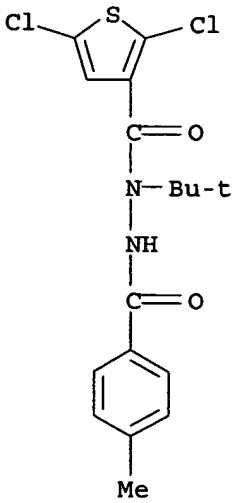
OTHER SOURCE(S): MARPAT 109:73319

AB AC(:X)NHNR1 C(:X1)B [I; X, X1 = O, S, NR; R = H, alkyl; R1 = (cycloalkyl-substituted) alkyl; A, B = (substituted) Ph, furyl, thienyl, triazolyl, pyrrolyl, pyrazolyl, thiazolyl, oxazolyl] were prepared as insecticides. N'-tert-Butyl-N-benzoylhydrazine in PhMe was mixed with H2O, NaOH, and 2-thiophenecarbonyl chloride and the mixture was stirred 13 h at room temperature to give N'-tert-butyl-N-benzoyl-N'-(2-thiophenecarbonyl)hydrazine. The latter at 600 ppm as a spray gave 100% control of Spodoptera eridania on bean leaves.

IT **115216-00-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide)

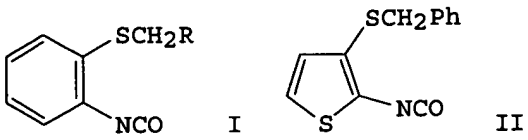
RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:422885 HCAPLUS
DOCUMENT NUMBER: 109:22885
TITLE: Synthesis from isocyanates of N-alkylated 2-benzothiazolinones and thienothiazolin-2-one
AUTHOR(S): Jilale, Abderrahim; Decroix, Bernard; Morel, Jean
CORPORATE SOURCE: Lab. Chim., Org. Heterocycles, Rouen, F-76130, Fr.

SOURCE: Chemica Scripta (1987), 27(3), 423-8
CODEN: CSRPB9; ISSN: 0004-2056
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 109:22885
GI

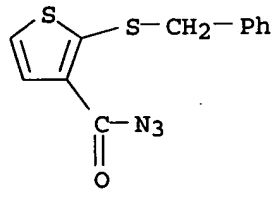


AB Thermal rearrangement and Friedel-Crafts reaction of ortho-substituted phenyl isocyanates, e.g., I (R = 2-, 3-thienyl) gave benzothiazolin-2-one. Similarly ortho-substituted thienyl isocyanates, e.g., II, gave the 3 possible thienothiazolinones.

IT **114950-31-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to isocyanate)

RN 114950-31-1 HCAPLUS

CN 3-Thiophenecarbonyl azide, 2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



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